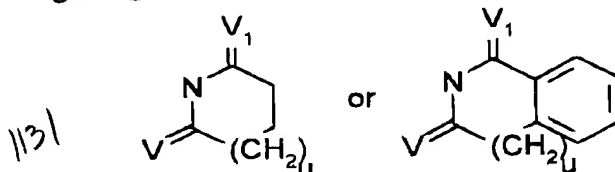


- 10 -

Serial No.: 08/450,437
 Batch No.: P58
 Group Art Unit No.: 1711

$-O(CH_2)_rNT_2$, in which r is 2, 3, or 4 and T is hydrogen or C_{1-6} alkyl or it forms with the adjacent nitrogen a group



in which V and V_1 are independently hydrogen or oxygen and u is 0, 1 or 2;

$-O(CH_2)_sOW_2$ in which s is 2, 3, or 4 and W is hydrogen or C_{1-6} alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R_3 substituents being present in the quinoline nucleus;

R_4 is hydroxy;

R_5 is branched or linear C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{4-7} cycloalkylalkyl, optionally substituted aryl, wherein an optional substituent is hydroxy, halogen, C_{1-6} alkoxy or C_{1-6} alkyl, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

X is O, S, or $N-C\equiv N$.

REMARKS

This Amendment is made after receiving a Notice of Allowance and under the provisions of 37 C.F.R. §312. The amendments made herein either correct minor typographical errors, or inadvertent errors in defining one or more of the variables of the compounds of formula (I) or formula (Ib). Applicants submit that the case remains in condition for allowance.

Specifically, the amendments made herein are as follows:

- 1) In claim 11, the compound (R,S)-N-[α -(methoxycarbonyl)benzyl]-N-methyl-2-phenylquinoline-4-carboxamide, has been deleted as it is a tertiary amine, and therefore not consistent with the other compounds claimed herein;
- 2) In claim 19, the definition of R_1 was deleted since claim 19 depends from claim 60, wherein the compound of formula (Ib) does not contain a variable R_1 , rather simply a hydrogen in that position;
- 3) The term "compositions" in claim 24 was placed in the correct singular format;
- 4) The definition of variable R_1 in claim 59 was clarified by indicating that R_1 together with R_2 can form a ring structure;

- 11 -

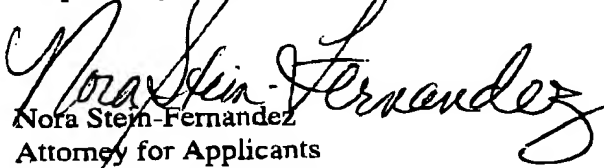
Serial No.: 08/450,437
Batch No.: P58
Group Art Unit No.: 1711

5) The definition of R_1 was deleted in claim 60 since no variable R_1 exists for compounds of formula (Ib); and

6) The definition of variable R_1 in claim 61 was clarified by indicating that R_1 together with R_2 can form a ring structure.

Applicants invite the Examiner to contact the undersigned attorney if questions arise concerning the above amendments.

Respectfully submitted,



Nora Stein-Fernandez
Attorney for Applicants
Registration No. 36,689

GLAXOSMITHKLINE
Corporate Intellectual Property - UW2220
P.O. Box 1539
King of Prussia, PA 19406-0939
Phone (610) 270-5044
Facsimile (610) 270-5090
n:\nsf\patapps\p30958C2\312 Amendment.doc

- 12 -

Serial No.: 08/450,437
Batch No.: P58
Group Art Unit No.: 1711

VERSION WITH MARKINGS TO SHOW CHANGES MADE

11. (Amended) A compound according to claim 59 selected from the group consisting of:

- (R,S)-N-(α -methylbenzyl)-2-phenylquinoline-4-carboxamide;
- (+)-(S)-N-(α -methylbenzyl)-2-phenylquinoline-4-carboxamide;
- (-)-(R)-N-(α -methylbenzyl)-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (+)-(S)-N-[α -(methoxycarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (-)-(R)-N-[α -(methoxycarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)benzyl]-7-methoxy-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)benzyl]-7-hydroxy-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(carboxy)benzyl]-7-methoxy-2-phenylquinoline-4-carboxamide hydrochloride;
- (R,S)-N-[α -(methylaminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-thienyl)quinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-furyl)quinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-pyridyl)quinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)-2-thienylmethyl]-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonylmethyl)benzyl]-2-phenylquinoline-4-carboxamide;
- (-)-(R)-N-[α -(methoxycarbonyl)-1,4-cyclohexadienylmethyl]-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(1-hydroxyethyl)benzyl]-2-phenylquinoline-4-carboxamide single diast;
- (R,S)-N-(α -ethylbenzyl)-3-methoxy-2-phenylquinoline-4-carboxamide;
- (R,S)-N-(α -ethylbenzyl)-3-n-butyl-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)benzyl]benzo-1,3-cycloheptadieno[1,2-b]quinoline-8-carboxamide;
- (R,S)-N-(α -ethylbenzyl)-3-hexyl-2-phenylquinoline-4-carboxamide;
- (-)-(S)-N-(α -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;
- (+)-(R)-N-(α -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-methoxyphenyl)quinoline-4-carboxamide;
- (R,S)-N-(α -ethylbenzyl)-3-phenyl-2-phenylquinoline-4-carboxamide;
- (R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-fluorophenyl)quinoline-4-carboxamide;
- (R,S)-N-[α -(ethyl)-3,4-dichlorobenzyl]-2-phenylquinoline-4-carboxamide;

- 13 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

(R,S)-N-[α -(hydroxymethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-7-chloro-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxymethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-chloro-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-3-ethyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -n-propylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-ethyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-phthalimido-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-n-propyl-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-6-bromo-3-methyl-2-(4-bromophenyl)quinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-6-bromo-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-methoxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-benzofuryl)quinoline-4-carboxamide;
(R,S)-N-[(1,2-diphenyl)ethyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -trifluoromethylbenzyl)-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-methoxy-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-ethyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-4-chlorobenzyl]-2-phenylquinoline-4-carboxamide;
[(R,S)-N-[α -(methoxycarbonyl)benzyl]-N-methyl-2-phenylquinoline-4-carboxamide];
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3-thienyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-5,6-dihydrobenzo[a]acridine-7-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-pyrryl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-thiazolyl)quinoline-4-carboxamide;
(R,S)-N-(1-indanyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -n-butylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-methylphenyl)quinoline-4-carboxamide;
(R,S)-N-(α -heptylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-methylphenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-methoxyphenyl)quinoline-4-carboxamide;

- 14 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

N-(1-phenylcyclopentyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-hydroxyphenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3,4-methylenedioxyphenyl)quinoline-4-carboxamide;
N-(α,α -dimethylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-4-methylbenzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3-pyrryl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3,4-dichlorophenyl)quinoline-4-carboxamide;
(-)-(R)-N-[α -(aminomethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-amino-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-chloro-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-bromo-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -*iso*-propylbenzyl)-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-2-phenylquinoline-4-carboxamide;
(+)-(R)-N-(α -ethylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-fluoro-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-cyclohexylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3-chlorophenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-chlorophenyl)quinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-8-acetyloxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-8-hydroxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2,4-dichlorophenyl)quinoline-4-carboxamide;
(-)-(R)-N-[α -(methoxycarbonyl)-4-hydroxybenzyl]-2-phenylquinoline-4-carboxamide hydrochloride;
N-diphenylmethyl-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
(+)-(R)-N-(α -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(methoxycarbonyl)benzyl]-3-hydroxy-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(dimethylaminomethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(dimethylaminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;

- 15 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

(R,S)-N-[α -(aminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(1-pyrrolidinylcarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
 (-)-(R)-N-[α -(carboxy)benzyl]-2-phenylquinoline-4-carboxamide hydrochloride;
 (R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-chlorophenyl)quinoline-4-carboxamide;
 (R)-N-[α -(methoxycarbonyl)-4-methoxybenzyl]-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(methoxycarbonyl)- α -(methyl)benzyl]-N-methyl-2-phenylquinoline-4-carboxamide hydrochloride;
 (R,S)-N-[α -(methylcarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(2-hydroxyethyl)benzyl]-2-phenylquinoline-4-carboxamide;
 (-)-(S)-N-(α -ethylbenzyl)-3-(2-dimethylaminoethoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
 (-)-(S)-N-(α -ethylbenzyl)-3-acetylamino-2-phenylquinoline-4-carboxamide;
 (-)-(S)-N-(α -ethylbenzyl)-3-(3-dimethylaminopropoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
 (-)-(S)-N-(α -ethylbenzyl)-3-[2-(1-phthaloyl)ethoxy]-2-phenylquinoline-4-carboxamide hydrochloride;
 (-)-(S)-N-(α -ethylbenzyl)-3-(2-aminoethoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
 (+)-(S)-N-(α -ethylbenzyl)-3-[2-(1-pyrrolidinyl)ethoxy]-2-phenylquinoline-4-carboxamide hydrochloride;
 (-)-(S)-N-(α -ethylbenzyl)-3-(dimethylaminoacetylamino)-2-phenylquinoline-4-carboxamide;
 N-(α,α -dimethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
 N-(α,α -dimethylbenzyl)-3-amino-2-phenylquinoline-4-carboxamide;
 (-)-(S)-N-(α -ethylbenzyl)-5-methyl-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(1-hydroxyethyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(methylcarbonyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(ethyl)-4-pyridylmethyl]-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(ethyl)-2-thienylmethyl]-2-phenylquinoline-4-carboxamide;
 (+)-(S)-N-(α -ethylbenzyl)-3-dimethylaminomethyl-2-phenylquinoline-4-carboxamide hydrochloride;
 (S)-N-(α -ethylbenzyl)-3-methyl-7-methoxy-2-phenylquinoline-4-carboxamide;
 (S)-N-(α -ethylbenzyl)-3-amino-5-methyl-2-phenylquinoline-4-carboxamide; and
 (S)-N-(α -ethylbenzyl)-3-methoxy-5-methyl-2-phenylquinoline-4-carboxamide[:].

19. (Three Times Amended) A compound according to claim 60, or a salt or solvate thereof, in which:

- 16 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

Z is phenyl, 2-chlorophenyl, 2-thienyl or cyclohexadienyl;

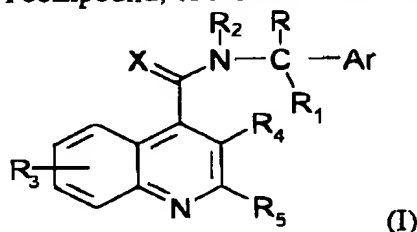
R is methyl, ethyl, n-propyl, -COOMe, or -COMe;

[R₁ and] R₂ [are each] is hydrogen or methyl;R₃ is hydrogen, methoxy, or hydroxy;R₄ is hydrogen, methyl, ethyl, methoxy, hydroxy, amino, chlorine, bromine, dimethylaminoethoxy, 2-(1-phthaloyl)ethoxy, aminoethoxy, 2-(1-pyrrolidinyl)ethoxy, dimethylaminopropoxy, dimethylaminoacetyl amino, acetyl amino, or dimethylaminomethyl; and

Y is phenyl, 2-thienyl, 2-furyl, 2-pyrryl, 2-thiazolyl or 3-thienyl.

24. (Amended) A pharmaceutical [compositions] composition comprising a compound according to claim 23 or a pharmaceutically acceptable salt or solvate thereof and a pharmaceutically acceptable carrier.

59. (Amended) A compound, or solvate or salt thereof, of formula (I):



in which:

Ar is an optionally substituted phenyl group, or a naphthyl or C₅₋₇ cycloalkdienyl group, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxyxcarbonyl, C₁₋₆ alkoxyxcarbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group - (CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together with R₂ form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;

R₂ is hydrogen;

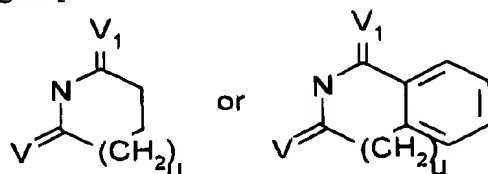
- 17 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

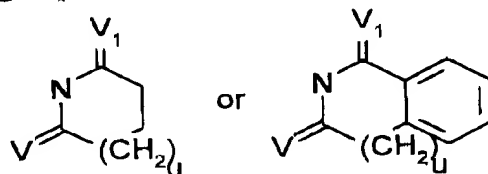
R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxycarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_rNT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

R₄ is C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxycarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_rNT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

or R₄ is a group -(CH₂)_t- when cyclized onto R₅ as aryl, in which t is 1, 2, or 3;

R₅ is branched or linear C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, optionally substituted aryl, wherein an optional substituent is hydroxy, halogen, C₁₋₆ alkoxy or C₁₋₆ alkyl, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

X is O, S, or N-C≡N.

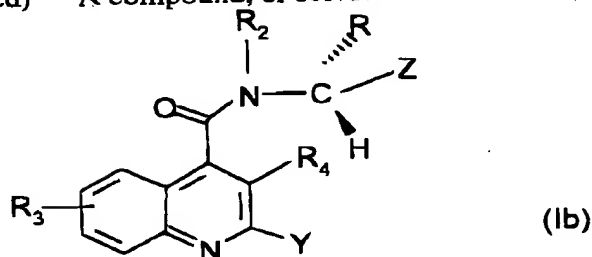
- 18 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

60. (Amended) A compound, or solvate or salt thereof, of formula (Ib):



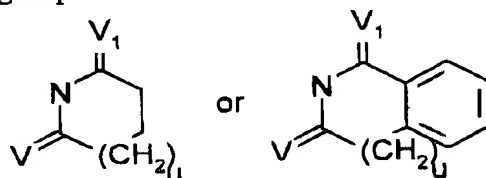
in which:

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxyxcarbonyl, C₁₋₆ alkoxyxcarbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group - (CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

[R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together with R₂ form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;]

R₂ is hydrogen;

R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxyxcarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

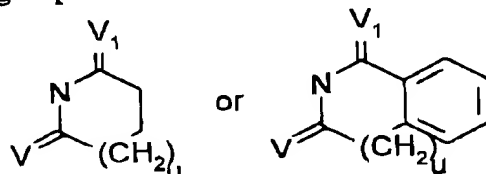
- 19 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

R_4 is C_{1-6} linear or branched alkyl, C_{1-6} alkenyl, aryl, C_{1-6} alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C_{1-6} alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di- C_{1-6} alkylamino, $-O(CH_2)_r NT_2$, in which r is 2, 3, or 4 and T is hydrogen or C_{1-6} alkyl or it forms with the adjacent nitrogen a group



in which V and V_1 are independently hydrogen or oxygen and u is 0, 1 or 2;

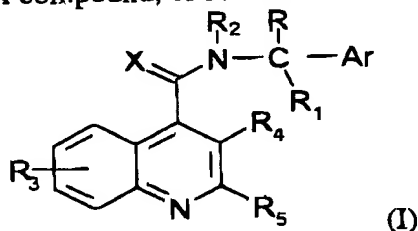
$-O(CH_2)_s OW_2$ in which s is 2, 3, or 4 and W is hydrogen or C_{1-6} alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R_3 substituents being present in the quinoline nucleus;

or R_4 is a group $-(CH_2)_t-$ when cyclized onto R_5 as aryl, in which t is 1, 2, or 3;

Z is phenyl or phenyl substituted by hydroxy, halogen, C_{1-6} alkoxy, C_{1-6} alkyl or Z is a single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N or Z is C_{5-7} cycloalkdienyl; and

Y is C_{3-7} cycloalkyl, phenyl or phenyl substituted by hydroxy, halogen, C_{1-6} alkoxy, or C_{1-6} alkyl, or Y is a single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N.

61. (Amended) A compound, or solvate or salt thereof, of formula (I):



in which:

Ar is an optionally substituted phenyl group, or a naphthyl or C_{5-7} cycloalkdienyl group, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

- 20 -

Serial No.: 08/450,437

Batch No.: P58

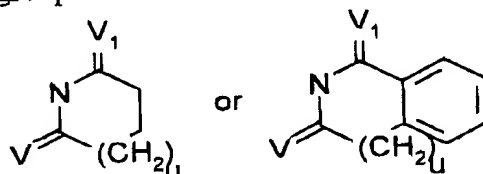
Group Art Unit No.: 1711

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxyxcarbonyl, C₁₋₆ alkoxycarbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group - (CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together with R₂ form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;

R₂ is hydrogen;

R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxycarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

R₄ is hydroxy;

R₅ is branched or linear C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, optionally substituted aryl, wherein an optional substituent is hydroxy, halogen, C₁₋₆ alkoxy or C₁₋₆ alkyl, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

X is O, S, or N-C≡N.